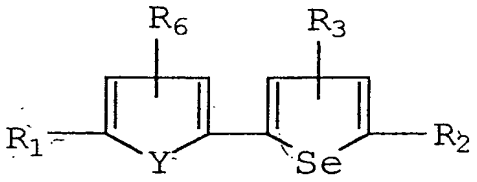
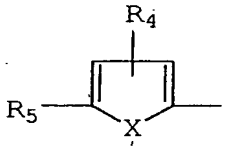
IPEA/US 31 DEC 1997

CLAIMS:

1. A compound of formula I:



wherein R_1 and R_2 are independently selected form the group consisting of

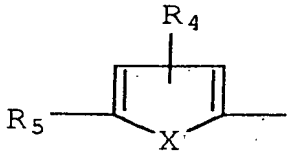


H, CH_2OH, CHO and CH_2NH_2;

X and Y are independently selected from the group consisting of Se, S, O, and NR, wherein R is H or C_1-C_7 alkyl;

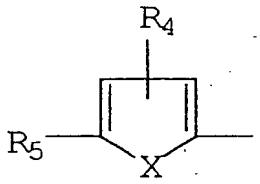
R_3, R_4, R_5 and R_6 are independently selected from the group consisting of H, CHO, CH_2OH and CH_2NH_2;

cyclodextrin complexes of such compounds; and when R_1, R_2, R_3, R_4, R_5 or R_6 is CH_2NH_2, the pharmaceutically acceptable salt of the compound represented thereby; with the provisos, that R_1 and R_2 are not both

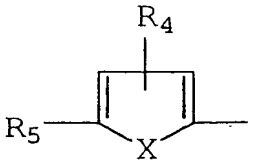


and when R_1 and R_2 are both H, R_6 and R_3 are not both H; and

when R_2 is



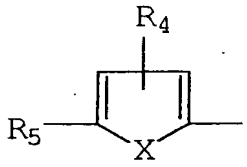
one of R_1, R_3, R_4, R_5 and R_6 is other than H, and when R_1 is



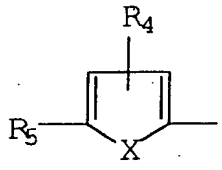
one of R_2, R_3, R_4, R_5 and R_6 is other than H.

2. The compound of claim 1, wherein R_3, R_4 and R_6 are H.

3. The compound of claim 2 wherein R_2 is selected from the group consisting of H, CH_2OH, CHO and CH_2NH_2 and R_1 is



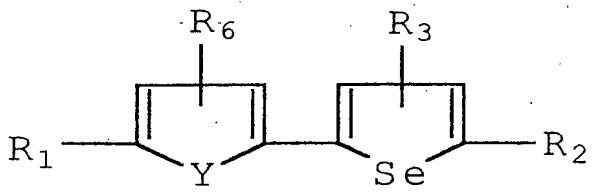
4. The compound of claim 2 wherein R_1 is selected from the group consisting of H, CH_2OH, CHO and CH_2NH_2 and R_2 is



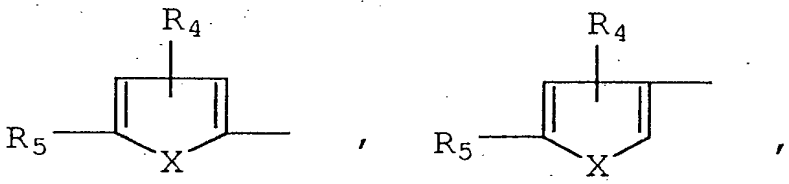
5. The compound of claim 3 or 4 wherein X is Se.

PCT/US 97/09717
IPEA/US 21 DEC 1997

6. A compound of formula I:



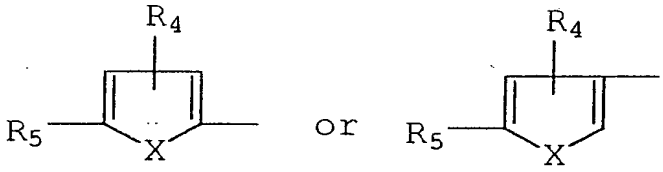
wherein R_1 and R_2 are independently selected from the group consisting of



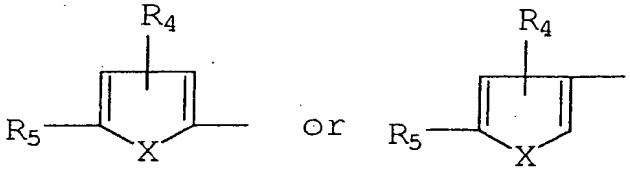
H, CHO, CH_2OH and CH_2NH_2;

X and Y are independently selected from the group consisting of Se, S, O and NR, wherein R is H or C_1-C_7 alkyl; R_3, R_4, R_5 and R_6 are independently selected from the group consisting of H, CHO, CH_2OH and CH_2NH_2;

cyclodextrin complexes of such compounds; and when R_1, R_2, R_3, R_4, R_5 or R_6 is CH_2NH_2, the pharmaceutically acceptable salt of the compound represented thereby; with the proviso that R_1 and R_2 are not both hydrogen, and when R_2 is

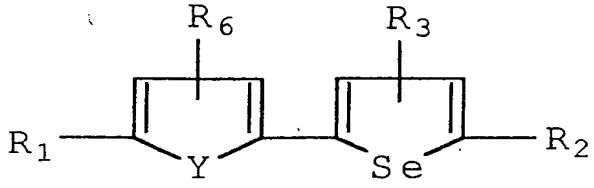


R_1 is H, CHO, CH_2OH or CH_2NH_2, provided that at least one of R_1 R_3, R_4, R_5 and R_6 is other than H; and when R_1 is

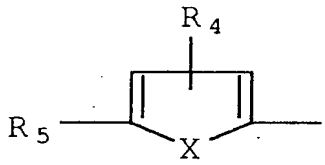


R_2 is H, CHO, CH_2OH or CH_2NH_2, provided that at least one of R_2, R_3, R_4, R_5 and R_6 is other than H.

7. A composition comprising an anti-tumor effective amount of a compound of formula I:



wherein R_1 and R_2 are independently selected from the group consisting of;

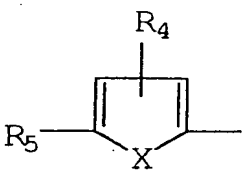


,

H, CH_2OH, CHO and CH_2NH_2;

X and Y are independently selected from the group consisting of Se, S, O and NR, wherein R is H or C_1-C_7 alkyl;

R_3, R_4, R_5 and R_6 are independently selected from the group consisting of H, CHO, CH_2OH and CH_2NH_2; cyclodextrin complexes of such compounds; and when R_1, R_2, R_3, R_4, R_5 or R_6 is CH_2NH_2, the pharmaceutically acceptable salt of the compound represented thereby; with the proviso, that R_1 and R_2 are not both



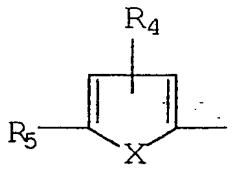
and at least one of R_1, R_2, R_3, R_4, R_5 or R_6 is other than hydrogen;

and a pharmaceutically acceptable carrier.

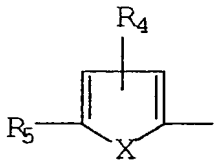
8. The compound of claim 7, wherein R_3, R_4 and R_6 are H.

9. The compound of claim 8 wherein R_2 is selected from the group consisting of H, CH_2OH, CHO and CH_2NH_2 and R_1 is

PCT/US 97/09717
IPEA/US 31 DEC 1997

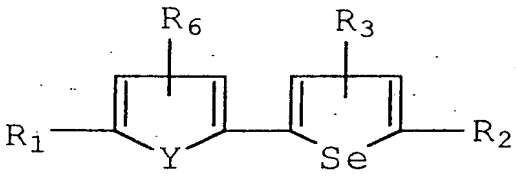


10. The compound of claim 8 wherein R_1 is selected from the group consisting of H, CH_2OH, CHO and CH_2NH_2 and R_2 is

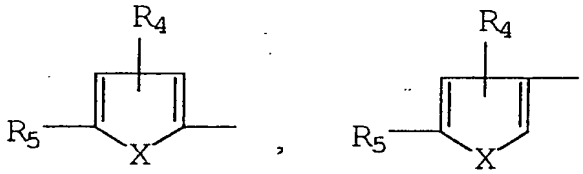


11. The compound of claim 9 or 10 wherein X is Se.

12. The use of a compound of the formula I:

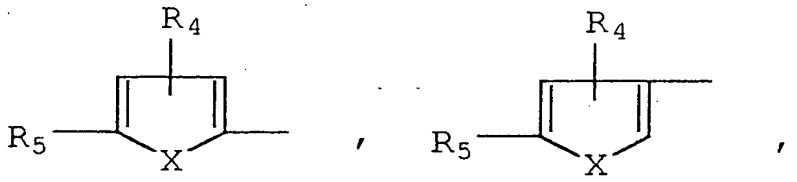


wherein R_1 and R_2 are independently selected from the group consisting of;



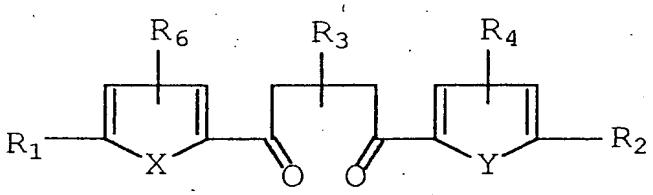
H, CHO, CH_2OH and CH_2NH_2;

X and Y are independently selected from the group consisting of Se, S, O and NR, wherein R is H or C_1-C_7 alkyl; R_3, R_4, R_5 and R_6 are independently selected from the group consisting of H, CHO, CH_2OH and CH_2NH_2; cyclodextrin complexes of such compounds; and when R_3, R_4, R_5 or R_6 is CH_2NH_2, the pharmaceutically acceptable salt of the compound represented thereby; with the proviso, that R_1 and R_2 are not both



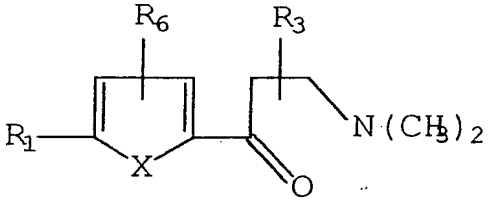
to manufacture a pharmaceutical composition useful for treating a patient having a tumor.

13. A method of preparing an intermediate compound of the formula

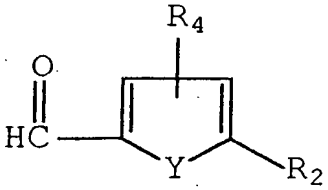


wherin X and Y are selected from the group consisting of O Se, S and NR, wherein R is H or C_1-C_7 alkyl; and

R_1, R_2, R_3, R_4 and R_6 are independently selected from the group consisting of H, CHO, CH_2OH and CH_2NH_2, said method comprising the step of reacting a compound of the formula



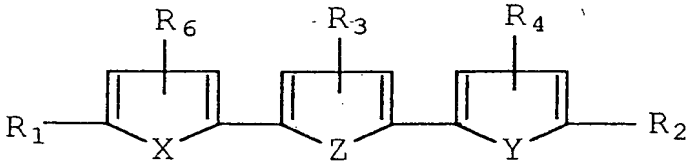
with a compound of the formula



in the presence of sodium cyanide and in dimethyl formamide.

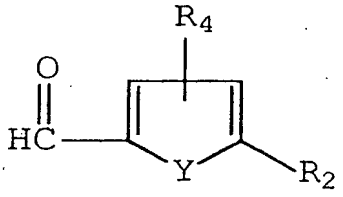
97/09717
IPEA/US 31 DEC 1997

14. A method of preparing a compound of the formula

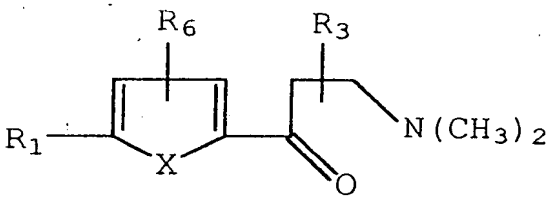


wherein X, Y and Z are selected from the group consisting of O, Se, S and NR, wherein R is H or C_1-C_7 alkyl; and

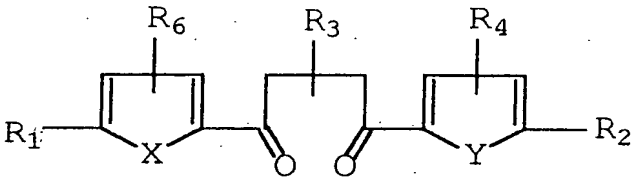
R_1, R_2, R_3, R_4 and R_6 are independently selected from the group consisting of H, CHO, CH_2OH and CH_2NH_2, said method comprising the steps of reacting a compound of the formula



with a compound of the formula



in the presence of sodium cyanide and DMF to form an intermediate having the formula



and when Z is NR, reacting the intermediate with RNH_2Cl in the presence of NaOAc; when Z is O, reacting the intermediate with $(CH_3CO)_2O$ in the presence of HCl; and when Z is S or Se, reacting the intermediate with $[(C_6H_{11})_3Sn]_2Z$ in the presence of BCl_3.